

L7 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1995:342930 CAPLUS

DOCUMENT NUMBER: 122:142233

TITLE: Buccal absorption of **testosterone** and  
**testosterone esters** using a buccal  
**bioadhesive tablet**

AUTHOR(S): Voorspoels, J.; Remon, J. P.

CORPORATE SOURCE: Lab. Pharmaceutical Technology, University Gent,  
Ghent, 9000, Belg.

SOURCE: Proceedings of the International Symposium on  
Controlled Release of Bioactive Materials (1994),  
21ST, 539-40  
CODEN: PCRMEY; ISSN: 1022-0178

DOCUMENT TYPE: Journal

LANGUAGE: English

TI Buccal absorption of **testosterone** and **testosterone**  
**esters** using a buccal **bioadhesive tablet**

AB **Testosterone** compared to its **esters** has the highest  
bioavailability from buccal **bioadhesive tablets**; this  
system can sustain testosterone levels within therapeutic plasma ranges.

IT Drug bioavailability  
(bioavailability of **testosterone** and its **esters**  
from buccal **bioadhesive tablets**)

IT Pharmaceutical dosage forms  
(buccal, bioavailability of **testosterone** and its  
**esters** from buccal **bioadhesive tablets**)

IT Pharmaceutical dosage forms  
(tablets, bioavailability of **testosterone** and its  
**esters** from buccal **bioadhesive tablets**)

IT 58-22-0, **Testosterone** 58-22-0D, **Testosterone**,  
**esters**

RL: BPR (Biological process); BSU (Biological study, unclassified); THU  
(Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
(bioavailability of **testosterone** and its **esters**  
from buccal **bioadhesive tablets**)

L7 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 1

ACCESSION NUMBER: 1996:511986 CAPLUS  
DOCUMENT NUMBER: 125:230330  
TITLE: Buccal absorption of **testosterone** and its  
**esters** using a **bioadhesive**  
**tablet** in dogs  
AUTHOR(S): Voorspoels, Jody; Remon, Jean-Paul; Eechaute, Willy;  
De Sy, Walter  
CORPORATE SOURCE: Lab. Pharm. Technology, Univ. Gent, Ghent, B-9000,  
Belg.  
SOURCE: Pharmaceutical Research (1996), 13(8), 1228-1232  
CODEN: PHREEB; ISSN: 0724-8741  
PUBLISHER: Plenum  
DOCUMENT TYPE: Journal  
LANGUAGE: English

TI Buccal absorption of **testosterone** and its **esters** using  
a **bioadhesive tablet** in dogs

AB As the oral bioavailability of testosterone is very low because of its  
high first pass effect, buccal administration might present a viable  
alternative. In this study, a buccal **bioadhesive tablet**  
was used to in order to sustain the delivery and bypass the liver. Both  
the in vivo detachment force and the work of adhesion decreased gradually  
with an increasing amount of testosterone and for an increasing chain length  
or the **esters**, except in the case of **testosterone**  
enanthate. The in vivo results revealed that the bioavailability of  
testosterone was significantly higher ( $p < 0.05$ ) than that of the esters,  
which is probably due to the lower solubility of the esters. The mean absolute  
bioavailability of testosterone from the **bioadhesive**  
**tablet** was 14.1%, while the mean relative bioavailability was  
1370%. The buccal administration of testosterone via the  
**bioadhesive tablet** allowed the maintenance of the plasma  
level at above 3 ng/mL for 15 to 24 h. Buccal absorption of testosterone  
was significantly higher than that of its esters.

IT Cheek

Drug bioavailability  
(buccal absorption of **testosterone** and its **esters**  
from a **bioadhesive tablet** in dogs)

IT Pharmaceutical dosage forms

(tablets, buccal adhesive; buccal absorption of **testosterone**  
and its **esters** from a **bioadhesive tablet**  
in dogs)

IT 57-85-2, Testosterone propionate 58-22-0, Testosterone 315-37-7,  
Testosterone enanthate 1045-69-8, Testosterone acetate 5721-91-5,  
Testosterone decanoate

RL: BPR (Biological process); BSU (Biological study, unclassified); THU  
(Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
(buccal absorption of **testosterone** and its **esters**  
from a **bioadhesive tablet** in dogs)

L7 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1995:342930 CAPLUS  
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**bioadhesive tablet**

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CORPORATE SOURCE: Lab. Pharmaceutical Technology, University Gent,  
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SOURCE: Proceedings of the International Symposium on  
Controlled Release of Bioactive Materials (1994),  
21ST, 539-40

CODEN: PCRMEY; ISSN: 1022-0178

DOCUMENT TYPE: Journal

LANGUAGE: English

TI Buccal absorption of **testosterone** and **testosterone esters** using a buccal **bioadhesive tablet**

AB **Testosterone** compared to its **esters** has the highest bioavailability from buccal **bioadhesive tablets**; this system can sustain testosterone levels within therapeutic plasma ranges.

IT Drug bioavailability  
(bioavailability of **testosterone** and its **esters** from buccal **bioadhesive tablets**)

IT Pharmaceutical dosage forms  
(buccal, bioavailability of **testosterone** and its **esters** from buccal **bioadhesive tablets**)

IT Pharmaceutical dosage forms  
(tablets, bioavailability of **testosterone** and its **esters** from buccal **bioadhesive tablets**)

IT 58-22-0, **Testosterone** 58-22-0D, **Testosterone, esters**

RL: BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
(bioavailability of **testosterone** and its **esters** from buccal **bioadhesive tablets**)

=>

L7 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 1

ACCESSION NUMBER: 1996:511986 CAPLUS

DOCUMENT NUMBER: 125:230330

TITLE: Buccal absorption of **testosterone** and its **esters** using a **bioadhesive tablet** in dogs

AUTHOR(S): Voorspoels, Jody; Remon, Jean-Paul; Eechaute, Willy; De Sy, Walter

CORPORATE SOURCE: Lab. Pharm. Technology, Univ. Gent, Ghent, B-9000, Belg.

SOURCE: Pharmaceutical Research (1996), 13(8), 1228-1232  
CODEN: PHREEB; ISSN: 0724-8741

PUBLISHER: Plenum

DOCUMENT TYPE: Journal

LANGUAGE: English

TI Buccal absorption of **testosterone** and its **esters** using a **bioadhesive tablet** in dogs

AB As the oral bioavailability of testosterone is very low because of its high first pass effect, buccal administration might present a viable alternative. In this study, a buccal **bioadhesive tablet** was used in order to sustain the delivery and bypass the liver. Both the in vivo detachment force and the work of adhesion decreased gradually with an increasing amount of testosterone and for an increasing chain length or the **esters**, except in the case of **testosterone** enanthate. The in vivo results revealed that the bioavailability of testosterone was significantly higher ( $p < 0.05$ ) than that of the esters, which is probably due to the lower solubility of the esters. The mean absolute bioavailability of testosterone from the **bioadhesive tablet** was 14.1%, while the mean relative bioavailability was 1370%. The buccal administration of testosterone via the **bioadhesive tablet** allowed the maintenance of the plasma level at above 3 ng/mL for 15 to 24 h. Buccal absorption of testosterone was significantly higher than that of its esters.

IT Cheek  
Drug bioavailability

(buccal absorption of **testosterone** and its **esters** from a **bioadhesive tablet** in dogs)

IT Pharmaceutical dosage forms  
(tablets, buccal adhesive; buccal absorption of **testosterone** and its **esters** from a **bioadhesive tablet** in dogs)

IT 57-85-2, Testosterone propionate 58-22-0, Testosterone 315-37-7,  
Testosterone enanthate 1045-69-8, Testosterone acetate 5721-91-5,  
Testosterone decanoate

RL: BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
(buccal absorption of **testosterone** and its **esters** from a **bioadhesive tablet** in dogs)

ACCESSION NUMBER: 2002:143205 CAPLUS  
 DOCUMENT NUMBER: 136:189384  
 TITLE: Oral delivery of pharmaceuticals via encapsulation  
 INVENTOR(S): Battey, Alyce S.; Battey, Jacob  
 PATENT ASSIGNEE(S): USA  
 SOURCE: U.S. Pat. Appl. Publ., 9 pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002022057	A1	20020221	US 2001-931793	20010817
WO 2003009834	A1	20030206	WO 2001-US25791	20010817

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,  
 CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM,  
 HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,  
 LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO,  
 RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ,  
 VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,  
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,  
 BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-225877P P 20000817

AB A dry particulate drug delivery system for dissoln. of pharmaceuticals in the mouth is prepared by encapsulation of a therapeutically effective amount of a drug. Encapsulation reduces the perceived off flavors of drugs, allowing the active components to dissolve pleasantly in the mouth. This allows more rapid absorption of the active compds. through the oral cavity compared to traditional tablets, which require breakdown and absorption in the gastrointestinal tract. The delivery system can be incorporated into a variety of applications, such as breath mint tablets or chewing gum. Benefits of this invention include portability and the ability to take pharmaceuticals without water and without the off taste of chewable tablets, thereby leading to increased patient compliance. For example, diphenhydramine, an antihistamine and sedative, was encapsulated via **spray drying**. Diphenhydramine hydrochloride (100 g) was combined with 500 g of water and 200 g of an enzymically converted starch derivative. The mixture was heated to 60° until starch dissoln. is complete and then lowered to 40°. Peppermint oil (75 g) was added and emulsified at high speed for approx. 3 min. The emulsion was then spray dried into a powder using standard techniques. The resulting powder was combined with tableting sugar (5%:95% weight/weight) and compressed into tablets

with a lubricating agent, such as magnesium stearate. The resulting 750 mg tablet contains 10 mg of diphenhydramine.

IT 50-24-8, Prednisolone 50-28-2, Estradiol, biological studies 50-36-2, Cocaine 50-78-2, Aspirin 51-43-4, Adrenaline 54-11-5, Nicotine 57-27-2, Morphine, biological studies 57-30-7, Phenobarbital sodium 57-43-2, Amobarbital 57-83-0, Progesterone, biological studies 58-08-2, Caffeine, biological studies 58-22-0, **Testosterone** 58-73-1, Diphenhydramine 61-76-7, Phenylephrine hydrochloride 67-52-7D, 2,4,6(1H,3H,5H)-Pyrimidinetrione, derivs. 76-57-3, Codeine 81-81-2, Warfarin 94-09-7, Benzocaine 103-90-2, Acetaminophen 129-06-6, Sodium warfarin 132-22-9, Chlorpheniramine 134-49-6, Phenmetrazine 154-41-6, Phenylpropanolamine hydrochloride 300-62-9D, Amphetamine, derivs. 303-25-3, Cyclizine hydrochloride 345-78-8, Pseudoephedrine hydrochloride 439-14-5, Diazepam 523-87-5,

Dimenhydrinate 536-43-6, Dyclonine hydrochloride 569-65-3, Meclizine 15687-27-1, Ibuprofen  
RL: PEP (Physical, engineering or chemical process); PKT (Pharmacokinetics); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
(drug encapsulation for dissoln. in and absorption through oral cavity)

L12 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:509085 CAPLUS  
DOCUMENT NUMBER: 129:127192  
TITLE: Preparation of particles for inhalation  
INVENTOR(S): Edwards, David A.; Hanes, Justin; Evora, Carmen; Langer, Robert S.; Vanbever, Rita; Mintzes, Jeffrey; Wang, Jue; Chen, Donghao  
PATENT ASSIGNEE(S): Massachusetts Institute of Technology, USA; The Penn State Research Foundation  
SOURCE: PCT Int. Appl., 64 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 6  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9831346	A1	19980723	WO 1997-US20930	19971117
W: CA, JP				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5855913	A	19990105	US 1997-784421	19970116
CA 2403349	AA	19980723	CA 1997-2403349	19971117
EP 954282	A1	19991110	EP 1997-947545	19971117
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001526634	T2	20011218	JP 1998-534332	19971117
CA 2277801	C	20021015	CA 1997-2277801	19971117
PRIORITY APPLN. INFO.:				
US 1997-784421 A 19970116				
US 1997-59004P P 19970915				
CA 1997-2277801 A3 19971117				
WO 1997-US20930 W 19971117				

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

AB Particles incorporating a surfactant and/or a hydrophilic or hydrophobic complex of a pos. or neg. charged therapeutic agent and a charged mol. of opposite charge for drug delivery to the pulmonary system, and methods for their synthesis and administration are provided. In a preferred embodiment, the particles are made of a biodegradable material and have a tap d. less than 0.4 g/cm<sup>3</sup> and a mass mean diameter 5-30 µm, which together yield an aerodynamic diameter of the particles of 1-3 µm. The particles may be formed of biodegradable materials such as biodegradable polymers. For example, the particles may be formed of poly(lactic acid) or poly(glycolic acid) or copolymers thereof. Alternatively, the particles may be formed solely of a therapeutic or diagnostic agent and a surfactant. Surfactants can be incorporated on the particle surface for example by coating the particle after particle formation, or by incorporating the surfactant in the material forming the particle prior to formation of the particle. Exemplary surfactants include phosphoglycerides such as dipalmitoyl phosphatidylcholine (DPPC). The particles can be effectively aerosolized for administration to the respiratory tract to permit systemic or local delivery of wide a variety of therapeutic agents. Formation of complexes of pos. or neg. charged therapeutic agents with mols. of opposite charge can allow control of the release rate of the agents into the blood stream following administration.

Porous particles were prepared by **spray drying** a solution containing insulin 2, albumins 19, lactose 19, and dipalmitoylphosphatidylcholine 60 %.

IT 50-28-2, Estradiol, biological studies 51-34-3, Scopolamine 54-11-5, Nicotine 57-83-0, Progesterone, biological studies 58-22-0, **Testosterone** 68-22-4, Norethindrone 69-72-7, biological studies 437-38-7, Fentanyl 439-14-5, Valium 4205-90-7, Clonidine 9004-10-8, Insulin, biological studies 9004-17-5, Zinc protamine insulin 9007-12-9, Calcitonin 15826-37-6, Cromolyn sodium 18559-94-9, Albuterol 51110-01-1, Somatostatin 53714-56-0, Leuprolide 89365-50-4, Salmeterol 103370-86-1, Parathyroid hormone-related peptide 143011-72-7, Granulocyte colony-stimulating factor  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(particulate compns. containing therapeutic agents and surfactants for inhalation)

L12 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:484963 CAPLUS

DOCUMENT NUMBER: 129:113556

TITLE: Processes for **spray drying**  
solutions of hydrophobic drugs with hydrophilic excipients

INVENTOR(S): Gordon, Marc S.; Lord, John D.

PATENT ASSIGNEE(S): Inhale Therapeutic Systems, Inc., USA

SOURCE: PCT Int. Appl., 29 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9829141	A1	19980709	WO 1997-US23904	19971229
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
AU 9858069	A1	19980731	AU 1998-58069	19971229
EP 951300	A1	19991027	EP 1997-954240	19971229
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI			
US 5976574	A	19991102	US 1997-999100	19971229
US 5985248	A	19991116	US 1997-999104	19971229
US 6001336	A	19991214	US 1997-999095	19971229
US 6077543	A	20000620	US 1997-999097	19971229
JP 2001507701	T2	20010612	JP 1998-530225	19971229
US 6365190	B1	20020402	US 2000-528758	20000317
US 2002132011	A1	20020919	US 2002-72407	20020208
US 6572893	B2	20030603		
US 2003203036	A1	20031030	US 2003-403548	20030331

PRIORITY APPLN. INFO.:

US 1996-34837P P 19961231  
US 1997-999097 A1 19971229  
WO 1997-US23904 W 19971229  
US 2000-528758 A1 20000317  
US 2002-72407 A1 20020208

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

TI Processes for **spray drying** solutions of hydrophobic drugs with hydrophilic excipients

AB Methods for preparing dry powders having hydrophobic and hydrophilic components comprise combining solns. or suspensions of the components and **spray drying** them simultaneously in a spray drier. Both the hydrophobic and hydrophilic component are dissolved in a solvent system selected to have adequate solubility or both components. The method provides dry powders having relatively uniform characteristics. The method was illustrated by using budesonide (particle size of 1-2  $\mu\text{m}$ ), lactose, Povidone, mannitol, NaCl, EtOH and acetone.

ST **spray drying** hydrophobic drug hydrophilic excipient

IT Drug delivery systems  
(powders; **spray drying** solns. of hydrophobic drugs with hydrophilic excipients and compns. prepared by such processes)

IT Antibiotics  
Antioxidants  
Pulmonary surfactant  
(**spray drying** solns. of hydrophobic drugs with hydrophilic excipients)

IT Alcohols, biological studies  
Estrogens  
Hydrocarbons, biological studies  
Ketones, biological studies  
Leukotrienes  
Peptides, biological studies  
Prostaglandins  
Retinoids  
Steroids, biological studies  
Vitamins  
RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
(**spray drying** solns. of hydrophobic drugs with hydrophilic excipients)

IT Particle size distribution  
Solubilization  
(**spray drying** solns. of hydrophobic drugs with hydrophilic excipients and compns. prepared by such processes)

IT Drying  
(**spray drying** solns. of hydrophobic drugs with hydrophilic excipients and compns. prepared by such processes)

IT 50-02-2, Dexamethasone 50-23-7, Hydrocortisone 53-03-2, Prednisone 57-83-0, Progesterone, biological studies 58-22-0, **Testosterone** 63-42-3, Lactose 64-17-5, Ethanol, biological studies 67-64-1, Acetone, biological studies 67-68-5, DMSO, biological studies 69-65-8, Mannitol 83-43-2, Methylprednisolone 124-94-7, Triamcinolone 378-44-9, Betamethasone 1406-16-2, Vitamin D 1406-18-4, Vitamin E 1972-08-3, Tetrahydrocannabinol 3385-03-3, Flunisolide 4419-39-0, Beclomethasone 7647-14-5, Sodium chloride, biological studies 9003-39-8, Povidone 12001-79-5, Vitamin K 51333-22-3, Budesonide 90566-53-3, Fluticasone  
RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
(**spray drying** solns. of hydrophobic drugs with hydrophilic excipients)

L12 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:484962 CAPLUS

DOCUMENT NUMBER: 129:100064

TITLE: Processes and compositions for **spray drying** hydrophobic drugs in organic solvent suspensions of hydrophilic excipients

INVENTOR(S): Gordon, Marc S.



PATENT ASSIGNEE(S): Inhale Therapeutic Systems, USA  
 SOURCE: PCT Int. Appl., 28 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 4  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9829140	A1	19980709	WO 1997-US23903	19971229
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9858068	A1	19980731	AU 1998-58068	19971229
US 5976574	A	19991102	US 1997-999100	19971229
US 5985248	A	19991116	US 1997-999104	19971229
US 6001336	A	19991214	US 1997-999095	19971229
US 6077543	A	20000620	US 1997-999097	19971229
US 6365190	B1	20020402	US 2000-528758	20000317
US 2002132011	A1	20020919	US 2002-72407	20020208
US 6572893	B2	20030603		
US 2003203036	A1	20031030	US 2003-403548	20030331
PRIORITY APPLN. INFO.:			US 1996-34837P	P 19961231
			US 1997-999097	A1 19971229
			WO 1997-US23903	W 19971229
			US 2000-528758	A1 20000317
			US 2002-72407	A1 20020208
REFERENCE COUNT: 4			THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT	
TI	Processes and compositions for <b>spray drying</b>			
AB	hydrophobic drugs in organic solvent suspensions of hydrophilic excipients			
AB	Methods for preparing dry powders having hydrophobic and hydrophilic components comprise combining solns. or suspensions of the components and <b>spray drying</b> them simultaneously in a spray drier. The hydrophobic component may be dissolved in an inorg. solvent and the hydrophilic component suspended therein. The method provides dry powders having relatively uniform characteristics. Budesonide was spray dried with lactose and ethanol.			
ST	<b>spray drying</b> hydrophobic drug; hydrophilic excipient			
IT	<b>spray drying</b>			
IT	Drug delivery systems (aerosols, powders; processes and compns. for <b>spray drying</b> hydrophobic drugs in organic solvent suspensions of hydrophilic excipients)			
IT	Surfactants (lung; processes and compns. for <b>spray drying</b> hydrophobic drugs in organic solvent suspensions of hydrophilic excipients)			
IT	Antibiotics			
IT	Antioxidants			
IT	Hydrophilicity			
IT	Hydrophobicity			
IT	Particle size distribution (processes and compns. for <b>spray drying</b> hydrophobic drugs in organic solvent suspensions of hydrophilic excipients)			
IT	Alcohols, uses			

Hydrocarbons, uses  
Ketones, uses  
RL: NUU (Other use, unclassified); PEP (Physical, engineering or chemical process); PROC (Process); USES (Uses)  
(processes and compns. for **spray drying** hydrophobic drugs in organic solvent suspensions of hydrophilic excipients)

IT Estrogens  
RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
(processes and compns. for **spray drying** hydrophobic drugs in organic solvent suspensions of hydrophilic excipients)

IT Leukotrienes  
RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
(processes and compns. for **spray drying** hydrophobic drugs in organic solvent suspensions of hydrophilic excipients)

IT Peptides, biological studies  
RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
(processes and compns. for **spray drying** hydrophobic drugs in organic solvent suspensions of hydrophilic excipients)

IT Prostaglandins  
RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
(processes and compns. for **spray drying** hydrophobic drugs in organic solvent suspensions of hydrophilic excipients)

IT Retinoids  
RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
(processes and compns. for **spray drying** hydrophobic drugs in organic solvent suspensions of hydrophilic excipients)

IT Steroids, biological studies  
RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
(processes and compns. for **spray drying** hydrophobic drugs in organic solvent suspensions of hydrophilic excipients)

IT Vitamins  
RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
(processes and compns. for **spray drying** hydrophobic drugs in organic solvent suspensions of hydrophilic excipients)

IT Drying  
(spray; processes and compns. for **spray drying** hydrophobic drugs in organic solvent suspensions of hydrophilic excipients)

IT 63-42-3, Lactose 69-65-8, D-Mannitol 77-92-9, Citric acid, biological studies 994-36-5, Sodium citrate 7647-14-5, Sodium chloride, biological studies 9000-69-5, Pectin 9003-39-8, Povidone  
RL: MOA (Modifier or additive use); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
(processes and compns. for **spray drying** hydrophobic drugs in organic solvent suspensions of hydrophilic excipients)

IT 50-02-2, Dexamethasone 50-23-7, Hydrocortisone 53-03-2, Prednisone 57-83-0, Progesterone, biological studies 58-22-0, **Testosterone** 83-43-2, Methylprednisolone 124-94-7, Triamcinolone 378-44-9, Betamethasone 1406-16-2, Vitamin D 1406-18-4, Vitamin E 1972-08-3, Tetrahydrocannabinol 3385-03-3, Flunisolide 4419-39-0, Beclomethasone 12001-79-5, Vitamin K 51333-22-3, Budesonide 90566-53-3, Fluticasone  
RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
(processes and compns. for **spray drying** hydrophobic

drugs in organic solvent suspensions of hydrophilic excipients)

L12 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:484924 CAPLUS

DOCUMENT NUMBER: 129:100062

TITLE: Processes for **spray drying** aqueous suspensions of hydrophobic drugs with hydrophilic excipients and compositions prepared by such processes

INVENTOR(S): Gordon, Marc S.

PATENT ASSIGNEE(S): Inhale Therapeutic Systems, Inc., USA

SOURCE: PCT Int. Appl., 32 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9829098	A1	19980709	WO 1997-US23905	19971229
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
AU 9857197	A1	19980731	AU 1998-57197	19971229
US 5976574	A	19991102	US 1997-999100	19971229
EP 952821	A1	19991103	EP 1997-953453	19971229
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI			
US 5985248	A	19991116	US 1997-999104	19971229
US 6001336	A	19991214	US 1997-999095	19971229
US 6077543	A	20000620	US 1997-999097	19971229
JP 2001507702	T2	20010612	JP 1998-530226	19971229
US 6365190	B1	20020402	US 2000-528758	20000317
US 2002132011	A1	20020919	US 2002-72407	20020208
US 6572893	B2	20030603		
US 2003203036	A1	20031030	US 2003-403548	20030331

PRIORITY APPLN. INFO.:

US 1996-34837P P 19961231  
US 1997-999097 A1 19971229  
WO 1997-US23905 W 19971229  
US 2000-528758 A1 20000317  
US 2002-72407 A1 20020208

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

TI Processes for **spray drying** aqueous suspensions of hydrophobic drugs with hydrophilic excipients and compositions prepared by such processes

AB Methods for preparing dry powders having hydrophobic and hydrophilic components comprise combining solns. or suspensions of the components and **spray drying** them simultaneously in a spray drier. The hydrophilic component is dissolved in an aqueous solution and the hydrophobic component suspended therein. The method provides dry powders having relatively uniform characteristics. Budesonide was spray dried with lactose and water.

ST **spray drying** hydrophobic drug; hydrophilic excipient

**spray drying**

IT Drug delivery systems

(aerosols, powders; processes for **spray drying** aqueous

suspensions of hydrophobic drugs with hydrophilic excipients)

IT Quaternary ammonium compounds, biological studies  
 RL: MOA (Modifier or additive use); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
 (alkylbenzyltrimethyl, chlorides; processes for **spray drying** aqueous suspensions of hydrophobic drugs with hydrophilic excipients)

IT Surfactants  
 (lung; processes for **spray drying** aqueous suspensions of hydrophobic drugs with hydrophilic excipients)

IT Antibiotics  
 Antioxidants  
 Hydrophilicity  
 Hydrophobicity  
 Particle size distribution  
 (processes for **spray drying** aqueous suspensions of hydrophobic drugs with hydrophilic excipients)

IT Lecithins  
 RL: MOA (Modifier or additive use); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
 (processes for **spray drying** aqueous suspensions of hydrophobic drugs with hydrophilic excipients)

IT Estrogens  
 Leukotrienes  
 Prostaglandins  
 Steroids, biological studies  
 Vitamins  
 RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
 (processes for **spray drying** aqueous suspensions of hydrophobic drugs with hydrophilic excipients)

IT Drying  
 (spray; processes for **spray drying** aqueous suspensions of hydrophobic drugs with hydrophilic excipients)

IT 63-42-3, Lactose 69-65-8, D-Mannitol 77-92-9, Citric acid, biological studies 112-80-1, Oleic acid, biological studies 994-36-5, Sodium citrate 7647-14-5, Sodium chloride, biological studies 9000-69-5, Pectin 9003-39-8, Povidone 12441-09-7D, Sorbitan, esters  
 RL: MOA (Modifier or additive use); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
 (processes for **spray drying** aqueous suspensions of hydrophobic drugs with hydrophilic excipients)

IT 50-02-2, Dexamethasone 50-23-7, Hydrocortisone 53-03-2, Prednisone 57-83-0, Progesterone, biological studies 58-22-0, **Testosterone** 83-43-2, Methylprednisolone 124-94-7, Triamcinolone 378-44-9, Betamethasone 1406-16-2, Vitamin D 1406-18-4, Vitamin E 1972-08-3, Tetrahydrocannabinol 3385-03-3, Flunisolide 4419-39-0, Beclomethasone 12001-79-5, Vitamin K 51333-22-3, Budesonide 90566-53-3, Fluticasone  
 RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
 (processes for **spray drying** aqueous suspensions of hydrophobic drugs with hydrophilic excipients)

L12 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:484922 CAPLUS

DOCUMENT NUMBER: 129:100061

TITLE: Aerosolized hydrophobic drug

INVENTOR(S): Gordon, Marc S.; Clark, Andrew; Brewer, Thomas K.

PATENT ASSIGNEE(S): Inhale Therapeutic Systems, USA

SOURCE: PCT Int. Appl., 31 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 4  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9829096	A1	19980709	WO 1997-US23902	19971229
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9860140	A1	19980731	AU 1998-60140	19971229
US 5976574	A	19991102	US 1997-999100	19971229
US 5985248	A	19991116	US 1997-999104	19971229
US 6001336	A	19991214	US 1997-999095	19971229
EP 971698	A1	20000119	EP 1997-954799	19971229
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 6077543	A	20000620	US 1997-999097	19971229
JP 2001507700	T2	20010612	JP 1998-530223	19971229
US 6365190	B1	20020402	US 2000-528758	20000317
US 2002132011	A1	20020919	US 2002-72407	20020208
US 6572893	B2	20030603		
US 2003203036	A1	20031030	US 2003-403548	20030331
PRIORITY APPLN. INFO.:				
			US 1996-34837P	P 19961231
			US 1997-999097	A1 19971229
			WO 1997-US23902	W 19971229
			US 2000-528758	A1 20000317
			US 2002-72407	A1 20020208
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT				
AB	Methods for preparing dry powders having hydrophobic and hydrophilic components comprise combining solns. of the components and <b>spray drying</b> them simultaneously in a spray dryer. The hydrophilic and hydrophobic component are sep. dissolved in sep. solvents and directed simultaneously through a nozzle, usually a coaxial nozzle, into the spray dryer. The method provides dry powders having relatively uniform characteristics. Budesonide was spray dried with ethanol, lactose, and water.			
ST	aerosol powder hydrophobic drug; <b>spray drying</b> aerosol powder drug			
IT	50-02-2, Dexamethasone 50-23-7, Hydrocortisone 53-03-2, Prednisone 57-83-0, Progesterone, biological studies 58-22-0, <b>Testosterone</b> 83-43-2, Methylprednisolone 124-94-7, Triamcinolone 378-44-9, Betamethasone 1406-16-2, Vitamin D 1406-18-4, Vitamin E 1972-08-3, Tetrahydrocannabinol 3385-03-3, Flunisolide 4419-39-0, Beclomethasone 12001-79-5, Vitamin K 51333-22-3, Budesonide 90566-53-3, Fluticasone RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses) (aerosolized hydrophobic drug)			
L12 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN				
ACCESSION NUMBER: 1996:336393 CAPLUS				
DOCUMENT NUMBER: 125:19009				
TITLE: Solid delivery systems for controlled release of				

INVENTOR(S): molecules incorporated therein  
 Roser, Bruce Joseph; Colaco, Camilo; Jerrow, Mohamed  
 Abdel Zahra; Blair, Julian Alexander; Kampinga, Jaap;  
 Wardell, James Lewis; Duffy, John Alistair  
 PATENT ASSIGNEE(S): Quadrant Holdings Cambridge Limited, UK  
 SOURCE: PCT Int. Appl., 99 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9603978	A1	19960215	WO 1995-GB1861	19950804
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 6290991	B1	20010918	US 1994-349029	19941202
CA 2197982	AA	19960215	CA 1995-2197982	19950804
AU 9531851	A1	19960304	AU 1995-31851	19950804
AU 688557	B2	19980312		
EP 773781	A1	19970521	EP 1995-927856	19950804
EP 773781	B1	20031022		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 10503769	T2	19980407	JP 1995-506345	19950804
HU 77777	A2	19980828	HU 1998-694	19950804
CN 1204959	A	19990113	CN 1995-195496	19950804
EP 1138319	A2	20011004	EP 2001-116637	19950804
EP 1138319	A3	20030319		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV				
EP 1138337	A2	20011004	EP 2001-116638	19950804
EP 1138337	A3	20030326		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV				
RU 2177785	C2	20020110	RU 1997-103529	19950804
EE 3593	B1	20020215	EE 1997-62	19950804
PL 184068	B1	20020830	PL 1995-318898	19950804
SK 283026	B6	20030204	SK 1997-277	19950804
AT 252373	E	20031115	AT 1995-927856	19950804
FI 9700867	A	19970408	FI 1997-867	19970228
NO 9701688	A	19970411	NO 1997-1688	19970411
AU 9871864	A1	19980820	AU 1998-71864	19980612
AU 707605	B2	19990715		
US 6331310	B1	20011218	US 2000-628380	20000801
US 2001038858	A1	20011108	US 2001-755737	20010105
US 6586006	B2	20030701		
US 2002012687	A1	20020131	US 2001-945180	20010831
US 6565871	B2	20030520		
US 2003054040	A1	20030320	US 2002-280468	20021025
US 2003147961	A1	20030807	US 2003-376136	20030227
US 2004052825	A1	20040318	US 2003-652212	20030829
PRIORITY APPLN. INFO.:				
			GB 1994-15810	A 19940804
			US 1994-349029	A 19941202
			EP 1995-927856	A3 19950804
			WO 1995-GB1861	W 19950804
			US 1997-500877	B1 19970818

US 2000-628380 A1 20000801  
US 2001-945180 A1 20010831  
US 2003-376136 A1 20030227

AB Solid dosage delivery systems suitable for delivery of bioactive materials s.c., intradermal, i.m., and i.v. are disclosed. The delivery systems comprise a vitreous vehicle, e.g. polyol, loaded with the guest substance and capable of releasing the guest substance in situ at various controlled rates. Microparticles were prepared by **spray drying** a solution of 0.39 M trehalose, 0.14 M calcium lactate and 0.5% MB9. This particles were coated by addition of a saturated solution of zinc palmitate in toluene and cooling at 60-30°. The particles were then filtered under vacuum to remove excess zinc palmitate, washed with acetone, and air-dried. The resulting powder remained unwetted in water for  $\geq 3$  days and released MB9 slowly into the water.

IT 50-99-7, Glucose, biological studies 57-50-1, biological studies  
57-83-0, Progesterone, biological studies 58-22-0, **Testosterone**  
63-42-3 69-79-4 99-20-7, Trehalose 470-55-3 512-69-6 585-86-4,  
Lactitol 585-88-6, Maltitol 597-12-6, Melezitose 604-68-2,  
 $\alpha$ -D-Glucose pentaacetate 604-69-3,  $\beta$ -D-Glucose pentaacetate  
3616-19-1, Cellobiose octaacetate 4618-18-2, Lactulose 6424-12-0,  
Raffinose undecaacetate 6556-12-3D, Glucuronic acid, polymers  
7208-47-1, Sorbitol hexaacetate 9003-99-0, Peroxidase 9004-10-8,  
Insulin, biological studies 9004-54-0, Dextran, biological studies  
13718-94-0, Isomaltulose 17273-84-6, Aluminum hexanoate 17606-72-3,  
Maltulose 20942-99-8 25018-27-3, Trehalose octaacetate 26023-30-3,  
Poly[oxy(1-methyl-2-oxo-1,2-ethanediyl)] 26680-10-4, Polylactide  
26780-50-7, Poly(glycolide-lactide) 27253-33-4, Calcium neodecanoate  
38954-67-5 59865-13-3, Cyclosporin a 64519-82-0, Palatinit  
66112-59-2, Saf-1 66594-14-7, Quil a 102787-20-2 177327-93-4  
177327-94-5 177472-68-3

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(controlled-release solid delivery systems comprising polyols)

L12 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1990:503430 CAPLUS

DOCUMENT NUMBER: 113:103430

TITLE: Method and apparatus for administering dehydrated  
drug-containing liposomes by inhalation

INVENTOR(S): Radhakrishnan, Ramachandran; Mihalko, Paul J.; Abra,  
Robert M.

PATENT ASSIGNEE(S): Liposome Technology, Inc., USA

SOURCE: U.S., 11 pp. Cont.-in-part of U.S. Ser. No. 737,221,  
abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4895719	A	19900123	US 1987-22937	19870306
US 5340587	A	19940823	US 1989-366299	19890613
US 5192528	A	19930309	US 1989-444360	19891201
PRIORITY APPLN. INFO.:			US 1985-737221	19850522
			US 1986-860528	19860507
			US 1986-937609	19861203
			US 1986-937607	19861203
			US 1987-22937	19870306
			US 1987-22669	19870319

AB Self-contained apparatus or systems and methods for delivering a selected amount

of drug, efficiently and reproducibly, in liposome-encapsulated form are described. The apparatus includes liposome particles formed by **spray drying** a dilute aqueous suspension of the liposomes. The particles formed have a fine particle size, retain the majority of their originally encapsulated material, and are stable in a preferred formulation, when suspended in a fluorocarbon solvent. The liposomes are preferably formed from partially or totally saturated phospholipids and dried in a stream of heated gas whose temperature does not degrade the lipids or structural

integrity

of the liposomes. The apparatus further includes a self-contained delivery device for producing an airborne suspension of the liposomes containing a metered dose of drug, e.g. a metered-dose spray device. Alternatively, the liposomes and a metered amount of the liposome-entrapped drug are contained in individual packets and the delivery device is e.g. a propellant spray device designed to release a stream of aerosolized propellant particles through the packet to entrain the liposomes in the stream. Views of various embodiments of liposome delivery apparatus are shown. Liposomes containing encapsulated metaproterenol sulfate (MPS) were prepared by solvent injection, diluted, and spray dried. The spray-dried liposomes were suspended in Freon 115 or Freon 114, stored for several days, and sprayed onto a moist plate for rehydration. The amount of encapsulated drug on rehydration was .apprx.50%. This delivery system has the advantages of (a) reduced side effects due to rapid systemic drug uptake; (b) improved therapeutic action over an extended period; and (c) the ability to modulate rate of drug release from the target site.

IT 50-02-2, Dexamethasone 50-02-2D, Dexamethasone, esters 50-28-2, Estradiol, biological studies 50-96-4, Isoetharine hydrochloride 51-30-9 52-53-9, Verapamil 52-88-0, Atropine methyl nitrate 53-06-5, Cortisone 55-63-0, Nitroglycerin 57-83-0, Progesterone, biological studies 58-22-0, **Testosterone** 58-55-9, Theophylline, biological studies 61-33-6, biological studies 87-33-2, Isosorbide dinitrate 100-33-4 134-72-5 299-95-6 525-66-6 616-91-1, n-Acetyl cysteine 1397-89-3, Amphotericin B 1403-66-3, Gentamycin 1406-18-4, Vitamin E 2152-44-5 2644-64-6 4419-39-0, Beclomethasone 4419-39-0D, Beclomethasone, esters 4537-77-3, DPPG 5874-97-5 7279-75-6 9001-27-8 9004-10-8, Insulin, biological studies 9005-49-6, Heparin, biological studies 9007-12-9, Calcitonin 9041-92-3 9054-89-1, Superoxide dismutase 11000-17-2, Vasopressin 11056-06-7, Bleomycin 15687-27-1 15826-37-6, Cromolyn sodium 23031-25-6, Terbutaline 23031-32-5, Terbutaline sulfate 23214-92-8, Doxorubicin 30392-41-7, Bitolterol mesylate 32986-56-4 33419-42-0, Etoposide 51022-70-9, Albuterol sulfate 51442-15-0 62229-50-9, Epidermal growth factor 62571-86-2, Captopril 72332-33-3, Procaterol 77326-96-6 85637-73-6, Atriopeptin

RL: BIOL (Biological study)

(controlled-release delivery of, by phospholipid liposome inhalation, apparatus for)

=>



L12 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1995:193654 CAPLUS  
DOCUMENT NUMBER: 122:298801  
TITLE: Spray-dried albumin microspheres containing  
nicardipine  
AUTHOR(S): Conte, Ubaldo; Giunchedi, Paolo; Maggi, Lauretta;  
Torre, Maria Luisa  
CORPORATE SOURCE: Dep. Pharm. Chem., Univ. Pavia, Pavia, I-27100, Italy  
SOURCE: European Journal of Pharmaceutics and Biopharmaceutics  
(1994), 101(4), 203-8  
CODEN: EJPBEL; ISSN: 0340-8159  
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB The preparation of **drug**-loaded albumin microspheres is described, which are designed as potential nasal delivery system. Nicardipine-HCl was chosen as the model **drug**, because of its high hepatic metabolism when administered by the **oral** route, while bovine serum albumin was used as biodegradable **polymer**. The albumin microspheres, prepared by using a **spray-drying** technique, were characterized by yield of production, **drug** encapsulation efficiency, shape and size; their in vitro release behavior was determined in buffer by rotating flask by flow-through cell. To characterize their **bioadhesive** properties, an in vitro preliminary test for microparticulate systems is proposed.

L12 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:863209 CAPLUS

DOCUMENT NUMBER: 139:57827

TITLE: Mucoadhesive vaginal **tablets** as veterinary delivery system for the controlled release of an antimicrobial drug, acriflavine

AUTHOR(S): Gavini, Elisabetta; Sanna, Vanna; Juliano, Claudia; Bonferoni, Maria Cristina; Giunchedi, Paolo

CORPORATE SOURCE: Dip. Sci. Farmaco, Univ. Sassari, Sassari, 07100, Italy

SOURCE: AAPS PharmSciTech (2002), 3(3), No pp. given  
CODEN: AAPHFZ; ISSN: 1522-1059  
URL: <http://www.aapspharmscitech.org/scientificjournals/pharmscitech/volume3issue3/pt030320/pt030320.htm>

PUBLISHER: American Association of Pharmaceutical Scientists

DOCUMENT TYPE: Journal; (online computer file)

LANGUAGE: English

REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

TI Mucoadhesive vaginal **tablets** as veterinary delivery system for the controlled release of an antimicrobial drug, acriflavine

AB The aim of the study was the development of **mucoadhesive** vaginal **tablets** designed for the local controlled release of acriflavine, an antimicrobial **drug** used as a model. The **tablets** were prepared using **drug**-loaded chitosan microspheres and addnl. excipients (methylcellulose, sodium alginate, sodium CM-cellulose, or Carbopol 974). The microspheres were prepared by a **spray-drying** method, using the **drug** to **polymer** weight ratios 1:1 and 1:2 and were characterized in terms of morphol., encapsulation efficiency, and in vitro release behavior, as MIC (Min. Inhibitory Concentration), MBC (Min. Bacterial Concentration), and killing time (KT).

The **tablets** were prepared by direct compression, characterized by in vitro **drug** release and in vitro **mucoadhesive** tests. The microparticles have sizes of 4 to 12  $\mu\text{m}$ ; the mean encapsulation yields are about 90%. Acriflavine, encapsulated into the **polymer**, maintains its antibacterial activity; killing time of the encapsulated **drug** is similar to that of the free **drug**. In vitro release profiles of **tablets** show differences depending on the excipient used. In particular Carbopol 974, which is highly cross-linked, is able to determine a **drug**-controlled release from the matrix **tablets** for more than 8 h. The in vitro adhesion tests, carried out on the same formulation, show a good **adhesive** behavior. The formulation containing microspheres with **drug** to **polymer** weight ratios of 1:1 and Carbopol 974 is characterized by the best release behavior and shows good **mucoadhesive** properties. These preliminary data indicate that this formulation can be proposed as a **mucoadhesive** vaginal delivery system for the controlled release of acriflavine.

ST acriflavine vaginal **tablet** antimicrobial

IT Drug delivery systems  
(bioadhesive; mucoadhesive vaginal **tablets** as veterinary delivery system for controlled release of an antimicrobial drug, acriflavine)

IT Drug delivery systems  
(microparticles; mucoadhesive vaginal **tablets** as veterinary delivery system for controlled release of an antimicrobial drug, acriflavine)

IT Adhesion, physical  
Antimicrobial agents  
Dissolution

Dissolution rate

(mucoadhesive vaginal **tablets** as veterinary delivery system  
for controlled release of an antimicrobial drug, acriflavine)

IT Drying

(spray; mucoadhesive vaginal **tablets** as veterinary delivery  
system for controlled release of an antimicrobial drug, acriflavine)

IT Drug delivery systems

(**tablets**, vaginal; mucoadhesive vaginal **tablets** as  
veterinary delivery system for controlled release of an antimicrobial  
drug, acriflavine)

IT 9004-32-4, Sodium CM-cellulose 9004-67-5, Methylcellulose 9005-38-3,  
Sodium alginate 9012-76-4, Chitosan 330988-85-7, Carbopol 974

RL: MOA (Modifier or additive use); THU (Therapeutic use); BIOL  
(Biological study); USES (Uses)

(mucoadhesive vaginal **tablets** as veterinary delivery system  
for controlled release of an antimicrobial drug, acriflavine)

IT 65589-70-0, Acriflavine

RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic  
use); BIOL (Biological study); USES (Uses)

(mucoadhesive vaginal **tablets** as veterinary delivery system  
for controlled release of an antimicrobial drug, acriflavine)

L12 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2002:863209 CAPLUS  
 DOCUMENT NUMBER: 139:57827  
 TITLE: Mucoadhesive vaginal **tablets** as veterinary delivery system for the controlled release of an antimicrobial drug, acriflavine  
 AUTHOR(S): Gavini, Elisabetta; Sanna, Vanna; Juliano, Claudia; Bonferoni, Maria Cristina; Giunchedi, Paolo  
 CORPORATE SOURCE: Dip. Sci. Farmaco, Univ. Sassari, Sassari, 07100, Italy  
 SOURCE: AAPS PharmSciTech (2002), 3(3), No pp. given  
 CODEN: AAPHFZ; ISSN: 1522-1059  
 URL: <http://www.aapspharmscitech.org/scientificjournals/pharmscitech/volume3issue3/pt030320/pt030320.htm>  
 PUBLISHER: American Association of Pharmaceutical Scientists  
 DOCUMENT TYPE: Journal; (online computer file)  
 LANGUAGE: English  
 REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

TI Mucoadhesive vaginal **tablets** as veterinary delivery system for the controlled release of an antimicrobial drug, acriflavine  
 AB The aim of the study was the development of **mucoadhesive** vaginal **tablets** designed for the local controlled release of acriflavine, an antimicrobial **drug** used as a model. The **tablets** were prepared using **drug**-loaded chitosan microspheres and addnl. excipients (methylcellulose, sodium alginate, sodium CM-cellulose, or Carbopol 974). The microspheres were prepared by a **spray-drying** method, using the **drug** to **polymer** weight ratios 1:1 and 1:2 and were characterized in terms of morphol., encapsulation efficiency, and in vitro release behavior, as MIC (Min. Inhibitory Concentration), MBC (Min. Bacterial Concentration), and killing time (KT).  
 The **tablets** were prepared by direct compression, characterized by in vitro **drug** release and in vitro **mucoadhesive** tests. The microparticles have sizes of 4 to 12  $\mu\text{m}$ ; the mean encapsulation yields are about 90%. Acriflavine, encapsulated into the **polymer**, maintains its antibacterial activity; killing time of the encapsulated **drug** is similar to that of the free **drug**. In vitro release profiles of **tablets** show differences depending on the excipient used. In particular Carbopol 974, which is highly cross-linked, is able to determine a **drug**-controlled release from the matrix **tablets** for more than 8 h. The in vitro adhesion tests, carried out on the same formulation, show a good **adhesive** behavior. The formulation containing microspheres with **drug** to **polymer** weight ratios of 1:1 and Carbopol 974 is characterized by the best release behavior and shows good **mucoadhesive** properties. These preliminary data indicate that this formulation can be proposed as a **mucoadhesive** vaginal delivery system for the controlled release of acriflavine.  
 ST acriflavine vaginal **tablet** antimicrobial  
 IT Drug delivery systems  
 (bioadhesive; mucoadhesive vaginal **tablets** as veterinary delivery system for controlled release of an antimicrobial drug, acriflavine)  
 IT Drug delivery systems  
 (microparticles; mucoadhesive vaginal **tablets** as veterinary delivery system for controlled release of an antimicrobial drug, acriflavine)  
 IT Adhesion, physical  
 Antimicrobial agents  
 Dissolution

Dissolution rate

(mucoadhesive vaginal **tablets** as veterinary delivery system  
for controlled release of an antimicrobial drug, acriflavine)

IT Drying

(spray; mucoadhesive vaginal **tablets** as veterinary delivery  
system for controlled release of an antimicrobial drug, acriflavine)

IT Drug delivery systems

(**tablets**, vaginal; mucoadhesive vaginal **tablets** as  
veterinary delivery system for controlled release of an antimicrobial  
drug, acriflavine)

IT 9004-32-4, Sodium CM-cellulose 9004-67-5, Methylcellulose 9005-38-3,  
Sodium alginate 9012-76-4, Chitosan 330988-85-7, Carbopol 974

RL: MOA (Modifier or additive use); THU (Therapeutic use); BIOL  
(Biological study); USES (Uses)

(mucoadhesive vaginal **tablets** as veterinary delivery system  
for controlled release of an antimicrobial drug, acriflavine)

IT 65589-70-0, Acriflavine

RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic  
use); BIOL (Biological study); USES (Uses)

(mucoadhesive vaginal **tablets** as veterinary delivery system  
for controlled release of an antimicrobial drug, acriflavine)

ACCESSION NUMBER: 1992:181034 CAPLUS  
DOCUMENT NUMBER: 116:181034  
TITLE: In vitro evaluation of spray-dried mucoadhesive microspheres for nasal administration  
AUTHOR(S): Vidgren, P.; Vidgren, M.; Arppe, J.; Hakuli, T.; Laine, E.; Paronen, P.  
CORPORATE SOURCE: Dep. Pharm. Technol., Univ. Kuopio, Kuopio, SF-70211, Finland  
SOURCE: Drug Development and Industrial Pharmacy (1992), 18(5), 581-97  
CODEN: DDIPD8; ISSN: 0363-9045  
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB Microspheres of di-Na cromoglycate (DSCG) were prepared with either Carbopol 934 or Na CM-cellulose (NaCMC) by the **spray-drying** technique. The arithmetic mean diameter of the spray-dried particles ranged from 3.2 to 5.7  $\mu$ . The plain DSCG particles and the microspheres containing NaCMC were spherical and had a smooth surface, whereas the microspheres containing Carbopol 934 were more irregular and partly shrunken. The dissoln. rate of the plain DSCG was prolonged when the **drug** was incorporated with the **polymers**. The more **polymer** the microspheres contained the slower the **drug** release rate. The in vitro mucoadhesion test showed that the plain DSCG was nearly as **mucoadhesive** as the plain **polymers**. The microspheres of DSCG with either of the **polymers** were, however, clearly more **mucoadhesive** than the plain starting materials. The adsorption isotherms showed the hygroscopic nature of the **polymers** and DSCG. The hydration of the microspheres increased as a function of the **drug** content.

ACCESSION NUMBER: 1993:131921 CAPLUS  
DOCUMENT NUMBER: 118:131921  
TITLE: Physical properties and in vitro mucoadhesion of  
spray-dried beclomethasone dipropionate microspheres  
AUTHOR(S): Vidgren, M.; Arppe, J.; Vidgren, P.; Laine, E.;  
Paronen, P.  
CORPORATE SOURCE: Dep. Pharm. Technol., Univ. Kuopio, Kuopio, 70211,  
Finland  
SOURCE: Congr. Int. Technol. Pharm., 6th (1992), Volume 2,  
13-19. Assoc. Pharm. Galenique Ind.: Chatenay  
Malabry, Fr.  
CODEN: 58UVAC  
DOCUMENT TYPE: Conference  
LANGUAGE: English

AB High-loaded microspheres of beclomethasone dipropionate (BDP) were prepared using poly(acrylic acid) (Carbopol 934) and Na CM-cellulose or their combination by the **spray-drying** technique. In vitro mucoadhesion test pointed out that, when the **polymers** were combined with BDP the **mucoadhesive** properties of lipophilic **drug** could clearly be increased. The water binding capacity of the BDP microspheres correlated only partly with the results of the in vitro mucoadhesion. Thus the mucoadhesion can not totally be explained by the wetting properties of the microspheres.

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(FILE 'HOME' ENTERED AT 18:28:33 ON 25 MAY 2004)

FILE 'CAPLUS' ENTERED AT 18:28:52 ON 25 MAY 2004

L1 18 SEA ABB=ON PLU=ON (BUCCAL OR SUBLINGUAL OR BIOADHESIVE OR  
MUCOADHESIVE OR MUCOSAL) AND SPRAY (3A) (DRY OR DRYING) AND  
TABLET

L2 11 SEA ABB=ON PLU=ON (BUCCAL OR SUBLINGUAL OR BIOADHESIVE OR  
MUCOADHESIVE OR MUCOSAL) AND SPRAY (3A) (DRY OR DRYING) (P)  
TABLET  
D L2 1- IBIB KWIC

L3 0 SEA ABB=ON PLU=ON (BUCCAL OR SUBLINGUAL OR BIOADHESIVE OR  
MUCOADHESIVE OR ADHESIVE OR MUCOSAL) AND SPRAY (3A) (DRY OR  
DRYING) (P) (ORAL OR TABLET) AND (ANDROGEN OR TESTOSTERONE OR  
MALE HORMONE OR SEX HORMONE)

L4 2 SEA ABB=ON PLU=ON (BUCCAL OR SUBLINGUAL OR BIOADHESIVE OR  
MUCOADHESIVE OR ADHESIVE OR MUCOSAL) AND SPRAY (3A) (DRY OR  
DRYING) AND (ANDROGEN OR TESTOSTERONE OR MALE HORMONE OR SEX  
HORMONE)  
D L4 IBIB KWIC 1-

L5 6 SEA ABB=ON PLU=ON (BUCCAL OR SUBLINGUAL OR BIOADHESIVE OR  
MUCOADHESIVE OR ADHESIVE OR MUCOSAL) AND SPRAY (3A) (DRY OR  
DRYING) AND (ANDROGEN OR TESTOSTERONE OR HORMONE)  
D L5 IBIB KWIC 1-

L6 27 SEA ABB=ON PLU=ON SPRAY (3A) (DRY OR DRYING) (P) (ANDROGEN  
OR TESTOSTERONE OR HORMONE)

L7 3 SEA ABB=ON PLU=ON SPRAY (3A) (DRY OR DRYING) (P) (TESTOSTERON  
E)  
D L7 IBIB KWIC 1-

L8 1 SEA ABB=ON PLU=ON SPRAY (3A) (DRY OR DRYING) (P) POLYMER (P)  
(ACTIVE OR DRUG) AND (TESTOSTERONE)

L9 202 SEA ABB=ON PLU=ON SPRAY (3A) (DRY OR DRYING) (P) POLYMER (P)  
(ACTIVE OR DRUG)

L10 29 SEA ABB=ON PLU=ON SPRAY (3A) (DRY OR DRYING) (P) POLYMER (P)  
(ACTIVE OR DRUG) (P) TABLET

L11 39 SEA ABB=ON PLU=ON SPRAY (3A) (DRY OR DRYING) (P) POLYMER (P)  
(ACTIVE OR DRUG) (P) (BIOADHESIVE OR MUCOADHESIVE OR ADHESIVE  
OR BUCCAL OR TABLET OR BUCCALLY OR SUBLINGUAL)

L12 4 SEA ABB=ON PLU=ON SPRAY (3A) (DRY OR DRYING) (P) POLYMER (P)  
(ACTIVE OR DRUG) (P) (BIOADHESIVE OR MUCOADHESIVE OR ADHESIVE)  
AND (ORAL OR BUCCAL OR TABLET OR BUCCALLY OR SUBLINGUAL)  
D L12 IBIB KWIC 1-

L13 4 SEA ABB=ON PLU=ON SPRAY (3A) (DRY OR DRYING) (P) POLYMER (P)  
(ACTIVE OR DRUG) AND (BIOADHESIVE OR MUCOADHESIVE OR ADHESIVE)  
(P) (ORAL OR BUCCAL OR TABLET OR BUCCALLY OR SUBLINGUAL)

L14 2 SEA ABB=ON PLU=ON L11 AND (TESTOSTERONE OR ANDROGEN OR MALE  
HORMONE OR SEX HORMONE)  
D L14 IBIB KWIC 1-

L15 0 SEA ABB=ON PLU=ON L11 AND SEX STEROID

L16 0 SEA ABB=ON PLU=ON L11 AND STEROID HORMONE

L17 0 SEA ABB=ON PLU=ON L11 AND STEROID  
D L11 1- IBIB KWIC 1-